CLAIMS

1. A compound of the formula

wherein, independently at each location:

R¹ is selected from hydrogen and lower alkyl;

R² is selected from hydrogen and lower alkyl;

R³ is lower alkyl;

 R^4 is selected from lower alkyl, aryl, and -CH₂-C₅₋₇carbocycle when R^5 is selected from H and methyl, or R^4 and R^5 together form a carbocycle of the partial formula -(CR^aR^b)_n-wherein R^a and R^b are independently selected from hydrogen and lower alkyl and n is selected from 2, 3, 4, 5 and 6;

R⁶ is selected from hydrogen and lower alkyl;

R⁷ is *sec*-butyl or *iso*-butyl;

R⁸ is selected from hydrogen and lower alkyl; and

R⁹ is selected from

$$R^{10}$$
 R^{11}
 R^{12}
 R^{10}
 R^{10}

divalent aryl;

$$R^{17}$$
— R^{16}
 N
 H
 R^{12}
 R^{11}
 R^{11}
 R^{12}
 R^{11}
 R^{11}
 R^{12}
 R^{11}
 R^{11}
 R^{12}
 R^{11}

wherein:

$$R^{10}$$
 is R^{15} O R^{14} R^{15} O R^{16} R^{17}

R¹¹ is selected from hydrogen and lower alkyl;

R¹² is selected from lower alkyl, halogen, and methoxy, and m is 0-5

where R12 is independently selected at each occurrence; and

R¹³ is
$$\{R^{15} O \\ N-N \}$$

wherein:

R¹⁴ is selected from a direct bond, divalent lower alkyl and

R¹⁵ is selected from hydrogen, lower alkyl and aryl;

 $$R^{16}$$ is selected from divalent lower alkyl, divalent aryl, and -(CH2OCH2)pCH2- where p is 1-5; and

- 2. A compound of claim 1 wherein R¹ is hydrogen.
- 3. A compound of claim 1 wherein R^1 and R^2 are methyl.
- 4. A compound of claim 1 wherein R³ is isopropyl.
- 5. A compound of claim 1 wherein R^4 is selected from lower alkyl, aryl, and - CH_2 - C_5 -7carbocycle and R^5 is selected from H and methyl.
- 6. A compound of claim 1 wherein R^4 is selected from lower alkyl, and R^5 is selected from H and methyl.
- 7. A compound of claim 1 wherein R^4 and R^5 together form a carbocycle of the partial formula $-(CR^aR^b)_n$ wherein R^a and R^b are independently selected from hydrogen and lower alkyl and n is selected from 2, 3, 4, 5 and 6.
 - 8. A compound of claim 1 wherein R⁶ is lower alkyl.
 - 9. A compound of claim 1 wherein R⁸ is hydrogen.

10. A compound of claim 1 wherein
$$R^9$$
 is R^{10} R^{12} and R^{11}

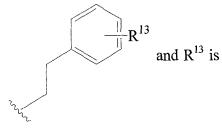
$$R^{10}$$
 is $\{$ $N-N$

- 11. A compound of claim 10 wherein R¹⁴ is selected from divalent aryl and divalent alkyl; R¹⁵ is selected from lower alkyl and aryl; and R¹⁶ is divalent lower alkyl.
 - 12. A compound of claim 1 wherein R^9 is R^{11} R^{10} and R^{10}

$$R^{10}$$
 is $\{$ O R^{15} O R^{16} R^{17} N N

13. A compound of claim 12 wherein R¹⁴ is selected from divalent aryl and divalent lower alkyl; R¹⁵ is selected from lower alkyl and aryl; and R¹⁶ is divalent lower alkyl.

14. A compound of claim 1 wherein R⁹ is



$$\begin{array}{c|c}
R^{15} & O \\
 & R^{16} - R^{17} \\
 & H
\end{array}$$

- 15. A compound of claim 14 wherein R^{15} is lower alkyl; and R^{16} is divalent lower alkyl.
 - 16. A compound of claim 1 wherein R⁹ is

$$R^{17}$$
— R^{16} N H R^{12} R^{11}

17. A compound of claim 16 wherein R¹⁶ is selected from divalent lower alkyl and divalent aryl.

- 18. A compound of claim 1 wherein R^9 is $R^{11} \longrightarrow R^{12} \longrightarrow R^{16} \longrightarrow R^{17}$
- 19. A compound of claim 18 wherein R¹⁶ is selected from divalent lower alkyl and divalent aryl.
 - 20. A compound of claim 1 wherein R^{17} is $\sqrt[3]{N}$ S—mAb
 - 21. A compound of claim 1 wherein \mathbb{R}^{17} is \mathbb{R}^{17} is \mathbb{R}^{17} .
 - 22. A compound of claim 1 wherein R¹⁷ is O
 - 23. A compound of claim 1 wherein R^{17} is $\begin{cases} Y \\ N-mAb \end{cases}$ and Y = O

or S.

24. A compound of claim 1 having the structure

25. A compound of claim 1 having the structure

26. A compound of claim 1 having the structure

wherein R⁴ is selected from iso-propyl and sec-butyl.

27. A compound of the formula

wherein, independently at each location:

R² is selected from hydrogen and lower alkyl;

R³ is lower alkyl;

 R^4 is selected from lower alkyl, aryl, and -CH₂-C₅₋₇carbocycle when R^5 is selected from H and methyl, or R^4 and R^5 together form a carbocycle of the partial formula -(CR^aR^b)_n-wherein R^a and R^b are independently selected from hydrogen and lower alkyl and n is selected from 2, 3, 4, 5 and 6;

R⁶ is selected from hydrogen and lower alkyl;

R⁷ is *sec*-butyl or *iso*-butyl;

R⁸ is selected from hydrogen and lower alkyl;

R¹¹ is selected from hydrogen and lower alkyl;

 R^{12} is selected from lower alkyl, halogen, and methoxy, and m is 0-5 where R^{12} is independently selected at each occurrence; and

 R^{20} is a reactive linker group having a reactive site that allows R^{20} to be reacted with a targeting moiety, where R^{20} can be bonded to the carbon labeled "x" by either a single or double bond.

- 28. A compound of claim 27 wherein the reactive site is selected from *N*-hydroxysuccinimide ester, *p*-nitrophenyl ester, pentafluorophenyl ester, isothiocyanate, isocyanate, anhydride, acid chloride, and sulfonyl chloride.
- 29. A compound of claim 27 wherein R^{20} comprises a hydrazone of the formula

wherein:

R¹⁴ is selected from a direct bond, divalent lower alkyl and divalent aryl;

R¹⁵ is selected from hydrogen, lower alkyl and aryl;

 R^{16} is selected from divalent lower alkyl, divalent aryl, and -(CH2OCH2) $_{p}\text{CH}_{2}\text{-}$ where p is 1-5; and

wherein X is a leaving group.

30. A compound of claim 29 having the formula

31. A compound of claim 29 having the formula

wherein R⁴ is selected from *iso*-propyl and *sec*-butyl, and R⁵ is hydrogen.

32. A compound of claim 29 having the formula

33. A compound of claim 27 wherein R^{20} comprises a hydrazone of the formula:

$$\begin{cases} X & O \\ N & N \end{cases} R^{16} - R^{17}$$

wherein R^{16} is selected from divalent lower alkyl, divalent aryl, and -(CH₂OCH₂)_pCH₂- where p is 1-5, and x identifies the carbon also marked x in claim 27; and R^{17}

is selected from
$$\{X, X, X\}$$
 wherein X is a leaving X

group.

34. A compound of claim 32 having the formula

35. A compound of the formula

wherein, independently at each location:

R¹ is selected from hydrogen and lower alkyl;

R² is selected from hydrogen and lower alkyl;

R³ is lower alkyl;

R⁴ is selected from lower alkyl, aryl, and -CH₂-C₅₋₇carbocycle when R⁵ is selected from H and methyl, or R⁴ and R⁵ together form a carbocycle of the partial formula -(CR^aR^b)_n-wherein R^a and R^b are independently selected from hydrogen and lower alkyl and n is selected from 2, 3, 4, 5 and 6;

R⁶ is selected from hydrogen and lower alkyl;

R⁷ is *sec*-butyl or *iso*-butyl;

R⁸ is selected from hydrogen and lower alkyl;

R¹¹ is selected from hydrogen and lower alkyl;

 R^{12} is selected from lower alkyl, halogen, and methoxy, and m is 0-5 where R^{12} is independently selected at each occurrence; and

 R^{20} is a reactive linker group having a reactive site that allows R^{20} to be reacted with a targeting moiety, where R^{20} can be bonded to the carbon labeled "x" by either a single or double bond.

- 36. A compound of claim 35 wherein the reactive site is selected from *N*-hydroxysuccinimide ester, *p*-nitrophenyl ester, pentafluorophenyl ester, isothiocyanate, isocyanate, anhydride, acid chloride, and sulfonyl chloride.
- $\,$ 37. A compound of claim 35 wherein R^{20} comprises a hydrazone of the formula

wherein:

R¹⁴ is selected from a direct bond, divalent lower alkyl and divalent aryl;

R¹⁵ is selected from hydrogen, lower alkyl and aryl;

 R^{16} is selected from divalent lower alkyl, divalent aryl, and -(CH₂OCH₂)_pCH₂-where p is 1-5; and

$$R^{17}$$
 is selected from $\begin{tabular}{l} \begin{tabular}{l} \beg$

wherein X is a leaving group.

38. A compound of claim 35 wherein R^{20} comprises a hydrazone of the formula:

wherein R^{16} is selected from divalent lower alkyl, divalent aryl, and -(CH2OCH2) $_p$ CH2- where p

is 1-5; and
$$R^{17}$$
 is selected from $\{X, X, X\}$ where

X is a leaving group.

39. A compound of the formula

wherein, independently at each location:

R¹ is selected from hydrogen and lower alkyl;

 R^2 is selected from hydrogen and lower alkyl;

R³ is lower alkyl;

 R^4 is selected from lower alkyl, aryl, and -CH₂-C₅₋₇carbocycle when R^5 is selected from H and methyl, or R^4 and R^5 together form a carbocycle of the partial formula -(CR^aR^b)_n-wherein R^a and R^b are independently selected from hydrogen and lower alkyl and n is selected from 2, 3, 4, 5 and 6;

R⁶ is selected from hydrogen and lower alkyl;

R⁷ is *sec*-butyl or *iso*-butyl;

R⁸ is selected from hydrogen and lower alkyl; and

 R^{20} is a reactive linker group comprising a reactive site that allows R^{20} to be reacted with a targeting moiety.

- 40. A compound of claim 39 wherein the reactive site is selected from *N*-hydroxysuccinimide ester, *p*-nitrophenyl ester, pentafluorophenyl ester, isothiocyanate, isocyanate, anhydride, acid chloride, and sulfonyl chloride.
- 41. A compound of claim 39 wherein R^{20} comprises a hydrazone of the formula

wherein:

 R^{14} is selected from a direct bond, divalent lower alkyl and divalent aryl;

R¹⁵ is selected from hydrogen, lower alkyl and aryl;

 R^{16} is selected from divalent lower alkyl, divalent aryl, and -(CH2OCH2) $_{p}\text{CH}_{2}\text{-}$ where p is 1-5; and

$$R^{17}$$
 is selected from $\{X, X, X\}$ $\{X, Y\}$ $\{X, Y\}$

where X is a leaving group.

42. A compound of claim 39 wherein R²⁰ comprises a hydrazone of the formula:

wherein, R^{15} is selected from hydrogen, and lower alkyl, R^{16} is selected from divalent lower alkyl, divalent aryl, and -(CH₂OCH₂)_pCH₂- where p is 1-5 and R^{17} is selected

43. A compound of the formula

44. A compound of the formula

wherein, independently at each location:

R¹ is selected from hydrogen and lower alkyl;

R² is selected from hydrogen and lower alkyl;

R³ is lower alkyl;

 R^4 is selected from lower alkyl, aryl, and -CH₂-C₅₋₇carbocycle when R^5 is selected from H and methyl, or R^4 and R^5 together form a carbocycle of the partial formula -(CR^aR^b)_n-wherein R^a and R^b are independently selected from hydrogen and lower alkyl and n is selected from 2, 3, 4, 5 and 6;

R⁶ is selected from hydrogen and lower alkyl;

R⁷ is *sec*-butyl or *iso*-butyl;

R⁸ is selected from hydrogen and lower alkyl;

R¹¹ is selected from hydrogen and lower alkyl; and

 R^{18} is selected from hydrogen, a hydroxyl protecting group, and a direct bond where OR^{18} represents =0.

- 45. A compound of claim 44 wherein R¹ is hydrogen.
- 46. A compound of claim 44 wherein R¹ and R² are methyl.
- 47. A compound of claim 44 wherein R^3 is isopropyl.
- 48. A compound of claim 44 wherein R⁴ is selected from lower alkyl, aryl, and -CH₂-C₅₋₇carbocycle and R⁵ is selected from H and methyl.
- 49. A compound of claim 44 wherein R⁴ is selected from lower alkyl, and R⁵ is selected from H and methyl.
- 50. A compound of claim 44 wherein R⁴ and R⁵ together form a carbocycle of the partial formula -(CR^aR^b)_n- wherein R^a and R^b are independently selected from hydrogen and lower alkyl and n is selected from 2, 3, 4, 5 and 6.
 - 51. A compound of claim 44 wherein R⁶ is lower alkyl.

- 52. A compound of claim 44 wherein R⁸ is hydrogen.
- 53. A compound of claim 44 wherein R¹¹ is hydrogen.
- 54. A compound of claim 44 wherein $-OR^{18}$ is =O.
- 55. A compound of claim 44 wherein R¹⁸ is hydrogen.
- 56. A compound of claim 44 having the structure

57. A compound of the formula

$$R^{1} \xrightarrow[R^{2}]{} O \xrightarrow[R^{4}]{} R^{5} \xrightarrow[R^{6}]{} OCH_{3} \xrightarrow{O} OCH_{4} \xrightarrow{O} OCH_{4}$$

wherein, independently at each location:

R¹ is selected from hydrogen and lower alkyl;

R² is selected from hydrogen and lower alkyl;

R³ is lower alkyl;

 R^4 is selected from lower alkyl, aryl, and -CH₂-C₅₋₇carbocycle when R^5 is selected from H and methyl, or R^4 and R^5 together form a carbocycle of the partial formula -(CR^aR^b)_n-wherein R^a and R^b are independently selected from hydrogen and lower alkyl and n is selected from 2, 3, 4, 5 and 6;

R⁶ is selected from hydrogen and lower alkyl;

R⁷ is *sec*-butyl or *iso*-butyl;

R⁸ is selected from hydrogen and lower alkyl; and

R¹⁹ is selected from hydroxy- and oxo-substituted lower alkyl.

- 58. A compound of claim 57 wherein R¹ is hydrogen.
- 59. A compound of claim 57 wherein R^1 and R^2 are methyl.
- 60. A compound of claim 57 wherein R^3 is *iso*-propyl.
- 61. A compound of claim 57 wherein R⁴ is selected from lower alkyl, aryl, and -CH₂-C₅₋₇carbocycle and R⁵ is selected from H and methyl.
- 62. A compound of claim 57 wherein R^4 is selected from lower alkyl, and R^5 is selected from H and methyl.
- 63. A compound of claim 57 wherein R⁴ and R⁵ together form a carbocycle of the partial formula -(CR^aR^b)_n- wherein R^a and R^b are independently selected from hydrogen and lower alkyl and n is selected from 2, 3, 4, 5 and 6.
 - 64. A compound of claim 57 wherein R⁶ is lower alkyl.
 - 65. A compound of claim 57 wherein R⁸ is hydrogen.

- 66. A compound of claim 57 wherein R¹⁹ is oxo-substituted lower alkyl.
- 67. A compound of claim 57 having the structure

- 68. A composition comprising a compound of any one of claims 1-26 and a pharmaceutically acceptable carrier, diluent or excipient.
- 69. A composition comprising a compound of any one of claims 40-64 and a pharmaceutically acceptable carrier, diluent or excipient.
- 70. A method for killing a cell, the method comprising contacting the cell with a lethal amount of the compound of claim 1-26.
- 71. A method for killing a cell, the method comprising administering to the cell a lethal amount of the compound of any one of claims 43-67.
 - 72. A method of killing a cell comprising
- a. delivering a compound of any one of claims 1-26 to a cell, where the compound enters the cell;
 - b. cleaving mAb from the remainder of the compound; and
 - c. killing the cell with the remainder of the compound.
 - 73. A method of killing a cell comprising

- a. delivering a compound of any one of claims 43-67 to a cell, where the compound enters the cell;
 - b. cleaving mAb from the remainder of the compound; and
 - c. killing the cell with the remainder of the compound.
- 74. A method of killing or inhibiting the multiplication of tumor cells or cancer cells in a human or other animal, the method comprising administering to the human or animal a therapeutically effective amount of a compound of any one of claims 1-26.
- 75. A method of killing or inhibiting the multiplication of tumor cells or cancer cells in a human or other animal, the method comprising administering to the human or animal a therapeutically effective amount of a compound of any one of claims 43-67.